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Docket No.: 5000-0160PUS1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Thomas GROTE et al.

Application No.: 10/574,509

Confirmation No.: N/A

Filed: April 3, 2006

Art Unit: N/A

For: FUNGICIDAL MIXTURE FOR
CONTROLLING RICE PATHOGENS

Examiner: Not Yet Assigned

LETTER

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

Subsequent to the filing of the above-identified application on April 3, 2006, attached hereto is an English Translation of the International Preliminary Report on Patentability issued by the International Bureau on behalf of the International Searching Authority. Please make this document of record for the above-identified application.

Please note that we filed a corresponding International Preliminary Report on Patentability on August 1, 2006, which was **NOT** an English Translation as previously stated when filing. Please replace the International Preliminary Report on Patentability filed on August 1, 2006 with the filing today (October 27, 2006) in connection with the above-identified application.

Application No.: 10/574,509

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If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or to credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. § 1.16 or under 37 C.F.R. § 1.17; particularly, extension of time fees.

Dated: October 27, 2006

Respectfully submitted,

By 

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Attachment(s) **PCT/IB/373, PCT/ISA/237**

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference 0000054970	FOR FURTHER ACTION		See item 4 below
International application No. PCT/EP2004/011257	International filing date (<i>day/month/year</i>) 08 October 2004 (08.10.2004)	Priority date (<i>day/month/year</i>) 17 October 2003 (17.10.2003)	
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237			
Applicant BASF Aktiengesellschaft			

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 bis.1(a).

2. This REPORT consists of a total of 8 sheets, including this cover sheet.

In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- | | | |
|-------------------------------------|--------------|---|
| <input checked="" type="checkbox"/> | Box No. I | Basis of the report |
| <input type="checkbox"/> | Box No. II | Priority |
| <input type="checkbox"/> | Box No. III | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |
| <input type="checkbox"/> | Box No. IV | Lack of unity of invention |
| <input checked="" type="checkbox"/> | Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/> | Box No. VI | Certain documents cited |
| <input type="checkbox"/> | Box No. VII | Certain defects in the international application |
| <input type="checkbox"/> | Box No. VIII | Certain observations on the international application |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. +41 22 338 82 70	Date of issuance of this report 27 July 2006 (27.07.2006) Authorized officer <p style="text-align: center; font-weight: bold;">Agnes Wittmann-Regis</p> e-mail: pt06@wipo.int
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PATENT COOPERATION TREATY

Translation

From the
INTERNATIONAL SEARCHING AUTHORITY

PCT

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

To:

Date of mailing (day/month/year) **See Form PCT/ISA/210 (sheet 2)**

Applicant's or agent's file reference
0000054970

FOR FURTHER ACTION
See paragraph 2 below

International application No.
PCT/EP2004/011257

International filing date (day/month/year)
08.10.2004

Priority date (day/month/year)
17.10.2003

International Patent Classification (IPC) or both national classification and IPC
A01N43/90

Applicant
BASF Aktiengesellschaft

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA/EP

Authorized officer

Facsimile No.

Telephone No.

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

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Box No. 1

Basis of this opinion

1. With regard to the language, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
☐ This opinion has been established on the basis of a translation from the original language into the following language
_____, which is the language of a translation furnished for the purposes of international search (under Rule 12.3 and 23.1(b)).
2. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
 - a. type of material
☐ a sequence listing
☐ table(s) related to the sequence listing
 - b. format of material
☐ in written format
☐ in computer readable form
 - c. time of filing/furnishing
☐ contained in the international application as filed.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table(s) relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

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Box No. V

Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims	1-10	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO

2. Citations and explanations:

Reference is made to the following prior art documents (D1-D7) which are cited in the international search report:

D1: EP-A-0 988 790
D2: WO 98/46607 A
D3: DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE,
COLUMBUS, OHIO, US; December 1998 (1998-12), DING,
XINTIAN: "Control of rice blast (*Pyricularia oryzae*) by
mixture of tricyclazole and sulfur", via STN, Database
accession no. 129:327227
D4: US-B1-6 268 371
D5: US-A-5 593 996
D6: FR-A-2 415 960
D7: EP-A-0 316 970

Novelty

The subject matter of claims 1-10 is novel (PCT Article 33(1) and (2)).

The subject matter of the independent claim 1 are fungicidal mixtures for controlling rice pathogens, comprising a specific fungicidal triazolopyrimidine (herein below referred to as TPI) and sulfur in a synergistically effective amount. Claim 3 claims a composition which contains a carrier and the mixture. The remaining independent claims 5, 9 and 10 are directed at a method of controlling rice-pathogenic harmful fungi using such a mixture, seed resulting from such a method which comprises such a mixture, and to

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the use of the two compounds for the preparation of compositions for controlling rice-pathogenic harmful fungi.

None of the abovementioned prior art documents discloses the specific mixtures which are the subject matter of the present application.

D1 (see the passages cited in the international search report) discloses synergistic mixtures of triazolopyrimidines of a general formula, which also covers TP1, with other fungicides, among which also sulfur. The preferred azolopyrimidines A, B and C, which are also used in examples (herein below referred to as TPa, TPb and TPc) are the 6-(2-Cl-6-F-phenyl), the 7-(2,2,2-trifluoroethylamino) and the 7-(1,1,1-trifluoropropyl-2-ylamino) analogue of TP1. In the example (D1, example 22), TPc, the comparative substance B of the present application, is used together with sulfur against *Puccinia recondita* (rust) on wheat.

D2 (see the passages cited in the international search report) discloses *inter alia* specifically the compound TP1 (exemplary compound 2). The compound is compared with TPa with regard to its activity against powdery mildew on grapevines and found to be superior. The possibility of mixing it with other fungicides, among which sulfur is also mentioned, with the possibility of achieving a synergistic effect is mentioned, but not carried out.

D3 discloses synergistic mixtures of sulfur with the known (see D4) rice fungicide tricyclazole for controlling *Pyricularia oryzae* (rice blast disease).

D4 (see the passages cited in the International search report) discloses synergistic mixtures of triazolopyrimidines which are known, *inter alia*, from D4, with melanin biosynthesis inhibitors such as tricyclazole, carpropamid, pyroquilon and fenoxanil. These mixtures are particularly effective against rice pathogens (*Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*, which causes brown spot disease). The preferred compounds, which are referred to in D4 as azolopyrimidines A, C and D, are the

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Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

abovementioned TPa, TPb and TPC, respectively.

D5 (see the passages cited in the international search report) discloses certain fungicidal triazolopyrimidines, among which TPa. The activity against *Pyricularia oryzae* on rice is demonstrated (see D4, examples 225 and 226).

D6 (see the passages cited in the International search report) discloses synergistic mixtures of the fungicide pyrazophos with sulfur for controlling powdery mildew on cereals, for example *Erysiphe graminis* on wheat and barley.

D7 finally (see the passages cited in the International search report) discloses synergistic mixtures of the fungicide tebuconazole with sulfur. Among the pathogens to be controlled which are mentioned are, *inter alia*, *Rhizoctonia*, *Cochliobolus*, *Pyricularia oryzae* and *Pellicularia sasakii* (synonym, *inter alia*, *Corticium sasakii*). The mixture is tested against *Sphaerotheca* (mildew) on cucumbers.

Inventive step

The subject matter of claims 1-10 involves an inventive step (PCT Article 33(1) and (3)).

In the light of the description and of the closest prior art of the cited document D1, the problem on which the application is based can be seen in the provision of synergistic mixtures of triazolopyrimidines with other fungicides which are suitable for controlling rice pathogens, i.e. which combine a high systemicity with a good activity against pathogens such as *Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*.

The proposed solution is characterized by the use of the specific triazolopyrimidine TP1 in combination with sulfur.

In the light of the above prior art, this combination is no obvious solution to the problem.

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Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

D1 proposes mixtures of triazolopyrimidines of a general formula which encompasses not only TPa, TPb and TPc, but also TP1, with sulfur. This document specifically discloses the mixture with the triazolopyrimidine TPc. The cited prior art document does not expressly mention the use for controlling rice pathogens. However, the triazolopyrimidines of the general formula are known from the prior art document D4 as being effective against rice pathogens; for example, this prior art document demonstrates the activity of TPa (compound 139 in D5) against *Pyricularia oryzae* by way of example (see example 226).

D4 (see hereinabove) discloses synergistic mixtures, of such triazolopyrimidines, among which, again, TPa and the TPc which is used in the present application as comparative substance, with other fungicides. These mixtures are effective in particular against rice pathogens such as *Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*.

D2 emphasizes that the 6-(2,4,6-trifluorophenyl)triazolopyrimidines (such as, for example, TP1) which are disclosed in this prior art document have an increased systemicity and fungitoxic effect against rice pathogens in comparison with the triazolopyrimidines known from D5 (such as, for example, TPa and TPc) (see D2, page 7, lines 9-11). The good activity, specifically of TP1, against *Pyricularia oryzae* (= *Pyricularia grisea* f. sp. *oryzae*, teleomorph: *Magnaporthe* gr. f. sp. *oryzae*) and *Rhizoctonia solani* is demonstrated with reference to examples (see D2, table II).

D2 also proposes a mixture with other fungicides, among which sulfur, which might possibly lead to a synergistic effect (see the passages of the prior art document D2 which are cited in the search report).

However, in order to arrive at the combination according to the invention, starting from D1, it is necessary to replace not only one of the triazolopyrimidines preferred therein, for example TPc, specifically by TP1, which is mentioned in D2 besides other triazolopyrimidines, but also to choose, for this replacement, the combination with sulfur among all combinations mentioned in D1.

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Faced with the task of providing compositions for controlling rice pathogens, this choice is not obvious.

Sulfur is not known as being particularly effective against such pathogens (see, for example, D3, D6 and D7). While in particular *Pyricularia oryzae* is mentioned as the pathogen to be controlled in the case of the mixtures in D3, the skilled worker would attribute this specificity to the concomitantly used tricyclazole, which is known as melanin biosynthesis inhibitor which is effective against *Pyricularia oryzae* (see, for example, D4, column 1, lines 36-39 and 42-49).

The skilled worker would therefore rather use, as mixing partners, fungicides which are known for their activity against rice pathogens, for example the melanin biosynthesis inhibitors which are mentioned in D3 and D4.

The proposed solution of combining the triazolopyrimidine TP1 with sulfur is therefore not obvious.

Industrial applicability

The subject matter of claims 1-10 is considered to be industrially applicable (PCT Article 33(1) and (4)).